CLAIMS

That Which Is Claimed Is:

1. A process for preparing a particulate form of a non-crystalline, solid drug substance comprising the steps of:

a) slowly adding a co-precipitant solution comprising the drug substance and a co-precipitating excipient solubilized in a non-aqueous solvent, to a slurry comprising a core excipient dispersed in an anti-solvent, to prepare a co-precipitate, wherein said non-aqueous solvent and said anti-solvent are miscible;

b) isolating said co-precipitate.

2. The process according to claim 1, wherein said drug substance is (E)-4-(1,3-bis(cyclohexylmethyl)-1,2,3,6-tetrahydro-2,6-dioxo-9H-purin-8-yl)cinnamic acid nonaethylene glycol methyl ether ester or a solvate thereof.

3. The process according to claim 1, wherein said co-precipitating excipient is selected from sorbitol, sucrose, glucose, fructose, lactose, xylitol, maltodextrin, hydroxypropylmethylcellulose, polyvinylpyrrolidone, starch 1500, sodium chloride and mixtures thereof.

4. The process according to claim 1, wherein said non-aqueous solvent is selected from organic acids, alcohols, polar aprotic solvents, and mixtures thereof.

5. The process according to claim 1, wherein said core excipient is selected from sorbitol, sucrose, glucose, fructose, lactose, xylitol, maltodextrin and mixtures thereof.

6. The process according to claim 1, wherein said anti-solvent is an alkane solvent.

7. A process for preparing a co-precipitate of a non-crystalline, solid drug substance comprising slowly adding a co-precipitant solution comprising the drug substance and a co-precipitating excipient solubilized in a non-aqueous solvent, to a slurry comprising a core excipient dispersed in an anti-solvent, wherein said non-aqueous solvent and said anti-solvent are miscible.

8. A pharmaceutical composition comprising a co-precipitate having a core comprising core excipient and one or more drug layers distributed around said core, wherein said drug layers comprise a drug substance and a co-precipitating excipient, and wherein said co-precipitate is prepared by slowly adding a co-precipitant solution comprising said drug substance and said co-precipitating excipient solubilized in a non-aqueous solvent, to a slurry comprising said core excipient dispersed in an anti-solvent, wherein said non-aqueous solvent and said anti-solvent are miscible.

9. A pharmaceutical composition comprising a co-precipitate having a core comprising a core excipient and one or more drug layers distributed around said core wherein said drug layers comprise (E)-4-(1,3-bis(cyclohexylmethyl)-1,2,3,6-tetrahydro-2,6-dioxo-9H-purin-8-yl)cinnamic acid nonaethylene glycol methyl ether ester or a solvate thereof and a co-precipitating excipient.

10. A process for preparing an aqueous-based pharmaceutical formulation comprising a non-crystalline, solid drug substance having low solubility in aqueous media, said process comprising the steps of:

a) slowly adding a co-precipitant solution comprising the drug substance and a co-precipitating excipient solubilized in a non-aqueous solvent, to a slurry comprising a core excipient dispersed in an anti-solvent, to prepare a co-precipitate, wherein said non-aqueous solvent and said anti-solvent are miscible;
b) isolating said co-precipitate; and

c) admixing said co-precipitate with a pharmaceutically acceptable aqueous media to provide an aqueous-based pharmaceutical formulation.

11. A process for preparing a particulate form of a non-crystalline, solid drug substance comprising the steps of:

a) solubilizing said drug substance in a drug solvent to prepare a drug solution;

b) admixing said drug solution with an anti-solvent to prepare a drug suspension comprising said drug substance suspended in a mixture of said drug solvent and said anti-solvent, wherein said drug solvent and said anti-solvent are miscible;

c) slowly adding to said drug suspension, an excipient solution comprising a co-precipitating excipient solubilized in a non-aqueous solvent, to prepare a co-precipitate, wherein said non-aqueous solvent is miscible with said drug solvent and said anti-solvent; and

d) isolating said co-precipitate.

12. The process according to claim 11, wherein said drug substance is (E)-4-(1,3-bis(cyclohexylmethyl)-1,2,3,6-tetrahydro-2,6-dioxo-9H-purin-8-yl)cinnamic acid nonaethylene glycol methyl ether ester or a solvate thereof.

13. The process according to claim 11, wherein said drug solvent is selected from dichloromethane, ethylacetate, tetrahydrofuran, dimethylformamide, dimethylsulfoxide, methanol, ethanol, isopropanol and mixtures thereof.

14. The process according to claim 11, wherein said anti-solvent is an alkane solvent.

15. The process according to claim 11, wherein said co-precipitating excipient is selected from sorbitol, sucrose, glucose, fructose, lactose, xylitol, maltodextrin, hydroxypropylmethylcellulose, polyvinylpyrrolidone, starch 1500, sodium chloride and mixtures thereof.

16. The process according to claim 11, wherein said non-aqueous solvent is selected from organic acids, alcohols, polar aprotic solvents, and mixtures thereof.

17. A process for preparing a co-precipitate of a non-crystalline, solid drug substance comprising the steps of:

a) solubilizing said drug substance in a drug solvent to prepare a drug solution;

b) admixing said drug solution with an anti-solvent to prepare a drug suspension comprising said drug substance suspended in a mixture of said drug solvent and said anti-solvent, wherein said drug solvent and said anti-solvent are miscible;

c) slowly adding to said drug suspension, an excipient solution comprising a co-precipitating excipient solubilized in a non-aqueous solvent, wherein said non-aqueous solvent is miscible with said drug solvent and said anti-solvent.

18. A pharmaceutical composition comprising a co-precipitate having a core comprising said drug substance and one or more co-precipitant layers distributed around said core, wherein said co-precipitant layers comprise a co-precipitating excipient, and wherein said co-precipitate is prepared by the process comprising the steps of:

a) solubilizing said drug substance in a drug solvent to prepare a drug solution;
b) admixing said drug solution with an anti-solvent to prepare a drug suspension comprising said drug substance suspended in a mixture of said drug solvent and said anti-solvent, wherein said drug solvent and said anti-solvent are miscible;
c) slowly adding to said drug suspension, an excipient solution comprising a co-precipitating excipient solubilized in a non-aqueous solvent, wherein said non-aqueous solvent is miscible with said drug solvent and said anti-solvent.

19. A pharmaceutical composition comprising a co-precipitate having a core comprising (E)-4-(1,3-bis(cyclohexylmethyl)-1,2,3,6-tetrahydro-2,6-dioxo-9H-purin-8-yl)cinnamic acid nonaethylene glycol methyl ether ester or a solvate thereof and one or more co-precipitant layers distributed around said core.

20. A process for preparing an aqueous-based pharmaceutical formulation comprising a non-crystalline, solid drug substance having low solubility in aqueous media, said process comprising the steps of:
a) solubilizing said drug substance in a drug solvent to prepare a drug solution;
b) admixing said drug solution with an anti-solvent to prepare a drug suspension comprising said drug substance suspended in a mixture of said drug solvent and said anti-solvent, wherein said drug solvent and said anti-solvent are miscible;
c) slowly adding to said drug suspension, an excipient solution comprising a co-precipitating excipient solubilized in a non-aqueous solvent, to prepare a co-precipitate, wherein said non-aqueous solvent is miscible with said drug solvent and said anti-solvent;
d) isolating said co-precipitate; and

e) admixing said co-precipitate with a pharmaceutically acceptable aqueous media to provide an aqueous-based pharmaceutical formulation.